10/ 071,032

Connecting via Winsock to STN

Welcome to STN International! Enter x:x

LOGINID:ssspta1202txn

PASSWORD:
TERMINAL (ENTER 1, 2, 3, OR ?):2

* * * * * * * * * * Welcome to STN International * * * * * * * * * *

```
 NEWS  1          Web Page URLs for STN Seminar Schedule - N. America
 NEWS  2          "Ask CAS" for self-help around the clock
 NEWS  3  JAN 27  Source of Registration (SR) information in REGISTRY updated
                  and searchable
 NEWS  4  JAN 27  A new search aid, the Company Name Thesaurus, available in
                  CA/CAplus
 NEWS  5  FEB 05  German (DE) application and patent publication number format
                  changes
 NEWS  6  MAR 03  MEDLINE and LMEDLINE reloaded
 NEWS  7  MAR 03  MEDLINE file segment of TOXCENTER reloaded
 NEWS  8  MAR 03  FRANCEPAT now available on STN
 NEWS  9  MAR 29  Pharmaceutical Substances (PS) now available on STN
 NEWS 10  MAR 29  WPIFV now available on STN
 NEWS 11  MAR 29  No connect hour charges in WPIFV until May 1, 2004
 NEWS 12  MAR 29  New monthly current-awareness alert (SDI) frequency in RAPRA
 NEWS 13  APR 26  PROMT: New display field available
 NEWS 14  APR 26  IFIPAT/IFIUDB/IFICDB: New super search and display field
                  available
 NEWS 15  APR 26  LITALERT now available on STN
 NEWS 16  APR 27  NLDB: New search and display fields available

 NEWS EXPRESS  MARCH 31 CURRENT WINDOWS VERSION IS V7.00A, CURRENT
               MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP),
               AND CURRENT DISCOVER FILE IS DATED 26 APRIL 2004
 NEWS HOURS    STN Operating Hours Plus Help Desk Availability
 NEWS INTER    General Internet Information
 NEWS LOGIN    Welcome Banner and News Items
 NEWS PHONE    Direct Dial and Telecommunication Network Access to STN
 NEWS WWW      CAS World Wide Web Site (general information)
```

Enter NEWS followed by the item number or name to see news on that specific topic.

All use of STN is subject to the provisions of the STN Customer agreement. Please note that this agreement limits use to scientific research. Use for software development or design or implementation of commercial gateways or other similar uses is prohibited and may result in loss of user privileges and other penalties.

* * * * * * * * * * * * * STN Columbus * * * * * * * * * * * * * * * *

FILE 'HOME' ENTERED AT 13:34:12 ON 09 MAY 2004

=> file reg

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.21	0.21

10/ 071,032

FILE 'REGISTRY' ENTERED AT 13:34:24 ON 09 MAY 2004
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

Property values tagged with IC are from the ZIC/VINITI data file
provided by InfoChem.

STRUCTURE FILE UPDATES: 7 MAY 2004 HIGHEST RN 680859-76-1
DICTIONARY FILE UPDATES: 7 MAY 2004 HIGHEST RN 680859-76-1

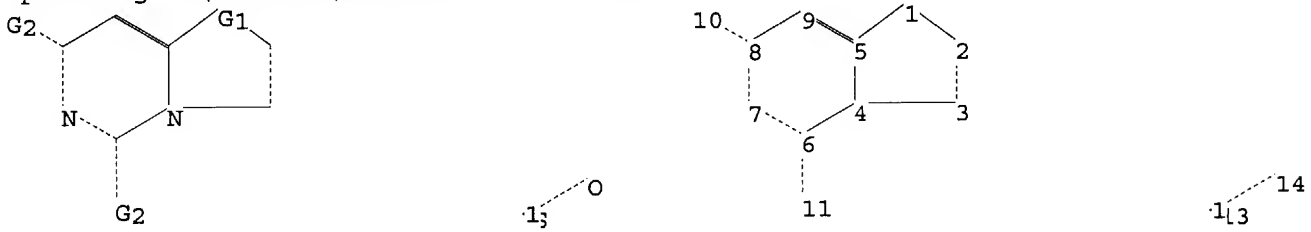
TSCA INFORMATION NOW CURRENT THROUGH JANUARY 6, 2004

Please note that search-term pricing does apply when
conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more
information enter HELP PROP at an arrow prompt in the file or refer
to the file summary sheet on the web at:
http://www.cas.org/ONLINE/DBSS/registryss.html

=>
Uploading C:\STNEXP4\QUERIES\10071032.str



chain nodes :
10 11 13 14
ring nodes :
1 2 3 4 5 6 7 8 9
chain bonds :
6-11 8-10 13-14
ring bonds :
1-2 1-5 2-3 3-4 4-5 4-6 5-9 6-7 7-8 8-9
exact/norm bonds :
1-2 1-5 2-3 3-4 4-5 4-6 5-9 6-7 6-11 7-8 8-9 8-10 13-14
isolated ring systems :
containing 1 :

G1:S,SO2,[*1]

G2:O,S

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:CLASS
11:CLASS 13:CLASS 14:CLASS

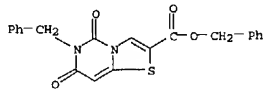
L1 STRUCTURE UPLOADED

L3 ANSWER 1 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2004:143114 CAPLUS
DOCUMENT NUMBER: 140:193098
TITLE: Matrix metalloproteinase (MMP) inhibitors, pharmaceutical compositions, therapeutic use, and methods for identification of lead compounds
INVENTOR(S): Wrigglesworth, Roger; Andrianjara, Charles; Dublanchet, Anne-Claude; Bertrand, Claude
PATENT ASSIGNEE(S): Warner-Lambert Company LLC, USA
SOURCE: PCT Int. Appl., 78 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004014867	A2	20040219	WO 2002-GB3728	20020813
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
WO 2004014381	A2	20040219	WO 2003-GB3488	20030807
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				

PRIORITY APPLN. INFO.: WO 2002-GB3728 A 20020813
AB The invention discloses compds. that are selective inhibitors of MMPs, pharmaceutical compns. containing the, and their use in the prevention and treatment of MMP-associated diseases (e.g. arthritis, pulmonary diseases). The invention also discloses methods for the identification of lead compds. that are selective inhibitors of MMPs. Compound preparation is described.
IT **449798-64-5**
RL: PAC (Pharmacological activity); BIOL (Biological study)
(matrix metalloproteinase inhibitors, pharmaceutical compns., therapeutic use, and methods for identification of lead compds.)
RN 449798-64-5 CAPLUS
CN 5H Thiazolo[3,2-c]pyrimidine-2-carboxylic acid, 6,7-dihydro-5,7-dioxo-6-(phenylmethyl) , phenylmethyl ester (9CI) (CA INDEX NAME)

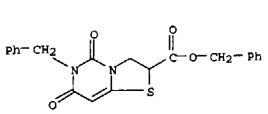
L3 ANSWER 1 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



L3 ANSWER 2 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2003:201542 CAPLUS
DOCUMENT NUMBER: 138:217443
TITLE: Rapid identification and classification of metalloenzyme inhibitors using ligands to the functional metal cation
INVENTOR(S): Dyer, Richard Dennis; Hupe, Donald John; Johnson, Adam Richard
PATENT ASSIGNEE(S): Warner-Lambert Company, USA
SOURCE: Eur. Pat. Appl., 17 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 1291439	A2	20030312	EP 2002-255715	20020815
EP 1291439	A3	20031119		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
US 2003129672	A1	20030710	US 2002-206479	20020726
JP 2003079394	A2	20030318	JP 2002-251608	20020829

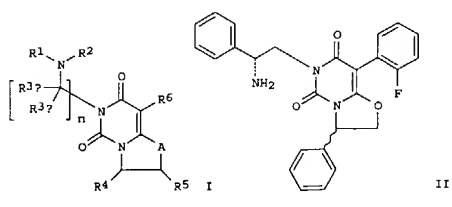
PRIORITY APPLN. INFO.: US 2001-315594P A 20010829
AB The present invention is a method for identifying a compound as a competitive, noncompetitive, or uncompetitive inhibitor of an enzyme having a functional metal cation. The method comprises assaying the compound for inhibition of the enzyme in the presence of a ligand to the functional metal cation. The ratio (IC50 of the inhibitor with the metalloenzyme in the presence of ligand) divided by (IC50 of the compound with the metalloenzyme in the presence of ligand) is less than 1 for noncompetitive or uncompetitive inhibitors; if the ratio is equal to 1, the inhibitor is noncompetitive, and if the ratio is >1, the inhibitor is competitive. Thus, synergistic inhibition of matrix metalloproteinases MMP-2, MMP-9, and MMP-13 by noncompetitive inhibitor N-[(3-phenylisoxazol-4-ylmethyl)aminothiocarbonyl]benzamide gave IC50 ratios of 0.1, 0.39, and 0.09, resp., in the presence or absence of acetohydroxamic acid as ligand. The method provides rapid and easy identification of competitive, noncompetitive, or uncompetitive inhibitors of a metalloenzyme, and avoids laborious and time-consuming enzyme kinetics expts.
IT **449799-04-6**
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(metalloproteinases inhibition by; rapid identification and classification of metalloenzyme inhibitors using ligands to the functional metal cation)
RN 449799-04-6 CAPLUS
CN 5H-Thiazolo[3,2-c]pyrimidine 2 carboxylic acid, 2,3,6,7-tetrahydro-5,7-dioxo-6 (phenylmethyl)-, phenylmethyl ester (9CI) (CA INDEX NAME)



L3 ANSWER 3 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2003:117831 CAPLUS
DOCUMENT NUMBER: 138:170250
TITLE: Oxazolo[3,2-c]pyrimidine-5,7-dione derivatives and their analogs, active as gonadotropin-releasing hormone receptor antagonists, and their pharmaceutical compositions and methods of use
INVENTOR(S): Pontillo, Joseph; Chen, Chen
PATENT ASSIGNEE(S): Neurocrine Biosciences, Inc., USA
SOURCE: PCT Int. Appl., 40 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003011870	A1	20030213	WO 2002-US24493	20020802
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2003109535	A1	20030612	US 2002-211993	20020802
EP 1412363	A1	20040428	EP 2002-756891	20020802
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				

PRIORITY APPLN. INFO.: US 2001-309980P P 20010802
WO 2002-US24493 W 20020802
OTHER SOURCE(S): MARPAT 138:170250
GI



AB GnRH receptor antagonists are disclosed, which have utility in the treatment of a variety of sex-hormone related conditions in both men and women. Also disclosed are compns. containing a compound of the invention, in

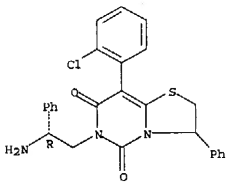
L3 ANSWER 3 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
combination with a pharmaceutically acceptable carrier, as well as methods relating to the use thereof for antagonizing gonadotropin-releasing hormone in a subject in need thereof. Specifically, title compds. I are claimed [wherein: A = O, S, OCR7R8, or NR7; n = 2, 3 or 4; R1, R2 = H, (un)substituted alkyl, aryl, arylalkyl, heterocyclyl, heterocyclylalkyl, C(R8)(:NR9) or C(NR10R11)(:NR9); or NR1R2 = (un)substituted heterocycle; R3a and R3b = H, alkoxy, alkylthio, alkylamino, (un)substituted alkyl, aryl, arylalkyl, heterocyclyl, heterocyclylalkyl, COOR12 or CONR10R11; or CRJaR3b = (un)substituted homocycle or heterocycle; or R1NCR3a = (un)substituted heterocycle; R4 = (un)substituted aryl, arylalkyl, heteroaryl, or heteroarylalkyl; R5 = H, (un)substituted alkyl; R6 = (un)substituted aryl or heteroaryl; R7 = H, (un)substituted alkyl; R8 = H, (un)substituted alkyl, aryl, arylalkyl, heterocyclyl, heterocyclylalkyl; R9 = H, (un)substituted alkyl, aryl, arylalkyl, heterocyclyl, heterocyclealkyl; R10, R11 = H, (un)substituted alkyl, aryl, arylalkyl, heterocyclyl, or heterocyclylalkyl; and R12 = H, alkyl, or substituted alkyl]. Also claimed are stereoisomers, prodrugs, and pharmaceutically acceptable salts of I. Four synthetic examples are given. For instance, N-(2-hydroxy-1-phenylethyl)-2 (2-fluorophenyl)acetamide (prepn. given) was treated with SOCl2 and then aq. NaHCO3 and NaOH to give 2-(2-fluorobenzyl)-4 phenyl-2-oxazoline. Cyclization of this with chlorocarbonyl isocyanate gave a pyrimidinedione deriv., which underwent Mitsunobu reaction with N-Boc-D-phenylglycinol at nitrogen, followed by deprotection using TFA, to give title compd. II. In a GnRH receptor membrane binding assay, compds. I had Ki of 100 μM or less (no addnl. data).

IT **496927-24-3P**, 6-((2R)-2-Amino-2-phenylethyl)-8-(2-chlorophenyl)-3-phenyl-2,3-dihydrothiazolo[3,2 c]pyrimidine-5,7-dione
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of oxazolopyrimidinedione derivs. and analogs as gonadotropin-releasing hormone receptor antagonists)

RN 496927-24-3 CAPLUS

CN 5H-Thiazolo[3,2-c]pyrimidine 5,7(6H)-dione, 6-[(2R)-2-amino-2-phenylethyl]-8-(2 chlorophenyl)-2,3-dihydro-3-phenyl (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

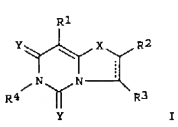
L3 ANSWER 4 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2002:637684 CAPLUS
DOCUMENT NUMBER: 137:185505
TITLE: Preparation of bicyclic pyrimidine selective MMP-13 matrix metalloproteinase inhibitors with therapeutic uses
INVENTOR(S): Dyer, Richard Dennis; Harter, William Glen; Hicks, James Lester; Johnson, Adam Richard; Li, Jie Jack; Roark, William Howard; Shuler, Kevon Ray
PATENT ASSIGNEE(S): Warner-Lambert Company, USA
SOURCE: PCT Int. Appl., 249 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002064599	A1	20020822	WO 2002-IB313	20020130
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1362054	A1	20031119	EP 2002-716244	20020130
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
BR 200207861	A	20040323	BR 2002-7861	20020130

PRIORITY APPLN. INFO.: US 2001-268780P P 20010214
WO 2002-IB313 W 20020130

OTHER SOURCE(S): MARPAT 137:185505
GI



I

AB Selective MMP-13 inhibitors are bicyclic pyrimidines (shown as I; e.g. 6-benzyl-5,7-dioxo-6,7-dihydro-5H-thiazolo[3,2-c]pyrimidine-2-carboxylic acid benzyl ester) or a pharmaceutically acceptable salt thereof, wherein R1 is H or alkyl; R2, R3, and R4 include H, halo, alkyl, C.tplbond.C(CH2)m aryl; X is O, S, SO, SO2, CH2, C:O, CHOH, NH, or NR5; and Y = O or S. A compound of the formula, or a pharmaceutically acceptable salt thereof, is useful for treating cancer or arthritis. IC50 values for various claimed compds. show the selectivity towards MMP-13 vs. other matrix metalloproteinases and the potent MMP-13 inhibitory activity (e.g. 0.0009

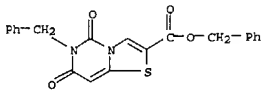
L3 ANSWER 3 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

L3 ANSWER 4 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
μM for 8-methyl-5,7-dioxo 6 [4-(2H-tetrazol-5-yl)benzyl]-6,7-dihydro-5H thiazolo[3,2-c]pyrimidine-2-carboxylic acid 4-fluorobenzylamide). Although the methods of prepn. are not claimed, >100 example prepns. are included.

IT **449798-64-5P**, 6-Benzyl-5,7-dioxo-6,7-dihydro-5H-thiazolo[3,2-c]pyrimidine 2-carboxylic acid benzyl ester
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(intermediate; preparation of bicyclic pyrimidine selective MMP-13 matrix metalloproteinase inhibitors with therapeutic uses)

RN 449798-64-5 CAPLUS

CN 5H-Thiazolo[3,2-c]pyrimidine-2-carboxylic acid, 6,7-dihydro-5,7-dioxo-6-(phenylmethyl)-, phenylmethyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

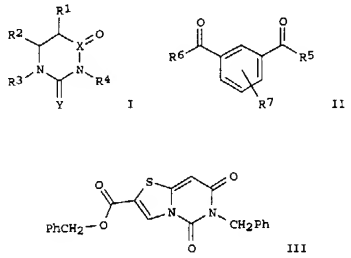
L3 ANSWER 5 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2002:637472 CAPLUS
DOCUMENT NUMBER: 137:201321
TITLE: Preparation of substituted isophthalic acid derivatives, multicyclic pyrimidinediones and analogs thereof as matrix metalloproteinase inhibitors
INVENTOR(S): Andrianjara, Charles; Ortwine, Daniel Fred; Pavlovsky, Alexander Gregory; Roark, William Howard
PATENT ASSIGNEE(S): Warner-Lambert Company, USA
SOURCE: PCT Int. Appl., 173 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002064080	A2	20020822	WO 2002-IB447	20020213
WO 2002064080	A3	20021212		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2003078276	A1	20030424	US 2002-75069	20020213
EP 1361873	A2	20031119	EP 2002-710275	20020213
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
BR 2002007864	A	20040309	BR 2002-7864	20020213
PRIORITY APPLN. INFO.:			US 2001-268821P	P 20010214
			WO 2002-IB447	W 20020213

GI

L3 ANSWER 5 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



I II

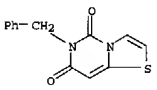
III

AB Title compds., I [R1 and R2 together may form a substituted aromatic ring or a heterocyclic ring; or R2 and R3 together may form substituted heterocycle; or R1, R3, or R4 = alkyl, arylalkyl, etc.; X = C, S; Y = O, N with provision when Y = N it forms a 5-membered heterocycle with R3] and II [R5, R6 = arylalkylamine, heterocyclylalkoxy, etc.; R7 = H, MeO, NO2, etc.], are prepared and disclosed as matrix metalloproteinase (MMP) inhibitors. Thus, III was prepared in five steps via cyclocondensation of diethylmalonate and benzylurea with subsequent chlorination, substitution with hydrosulfide hydrate to form an in situ intermediate that was reacted with bromoacetaldehyde dimethylacetal, followed by acid catalyzed cyclization and substitution with benzylchloroformate. III was demonstrated to inhibit MMP13 with an IC50 value (in μM) of 0.0230. I and II bind allosterically to the catalytic domain of MMP-13 and comprise a hydrophobic group, first and second hydrogen bond acceptors and at least one, and preferably both, of a third hydrogen bond acceptor and a second hydrophobic group. Cartesian coordinates for centroids of the above features are defined in the specification. When the ligand binds to MMP 13, the first, second and third (when present) hydrogen bond acceptors bond resp. with Thr245, Thr247 and Met 253, the first hydrophobic group locates within the S1' channel of MMP-13 and the second hydrophobic group (when present) is relatively open to solvent. The compds. specifically inhibit the matrix metalloproteinase-13 enzyme and thus are useful for treating diseases resulting from tissue breakdown, such as heart disease, multiple sclerosis, arthritis, atherosclerosis, and osteoporosis.

IT **449798-67-8P**, 6-Benzylthiazolo[3,2-c]pyrimidine-5,7-dione
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation and pharmaceutical activity of substituted isophthalic acid derivs., multicyclic pyrimidinediones and analogs thereof as matrix metalloproteinase inhibitors)

RN 449798-67-8 CAPLUS
CN 5H-Thiazolo[3,2-c]pyrimidine-5,7(6H)-dione, 6-(phenylmethyl)- (9CI) (CA INDEX NAME)

L3 ANSWER 5 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



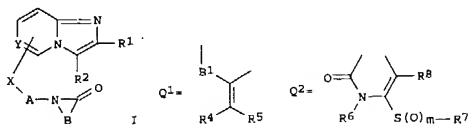
L3 ANSWER 6 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1996:211764 CAPLUS
DOCUMENT NUMBER: 124:261035
TITLE: Condensed imidazole compounds, their production, and use as adhesion molecule expression inhibitors.
INVENTOR(S): Takatani, Muneo; Ikeda, Hitoshi; Iida, Kyoko; Abe, Hidenori
PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Japan
SOURCE: PCT Int. Appl., 238 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

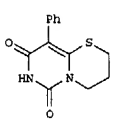
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9535296	A1	19951228	WO 1995-JP1192	19950615
W: AM, AU, BB, BG, BR, BY, CA, CN, CZ, EE, FI, GE, HU, IS, KG, KR, KZ, LK, LR, LT, LV, MD, MG, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, TJ, TM, TT, UA, US, UZ, VN				
RW: KE, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2191979	AA	19951228	CA 1995-2191979	19950615
AU 9526826	A1	19960115	AU 1995-26826	19950615
EP 767790	A1	19970416	EP 1995-921968	19950615
EP 767790	B1	20011212		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
CN 1151161	A	19970604	CN 1995-193713	19950615
CN 1046725	B	19991124		
AT 210663	E	20011215	AT 1995-921968	19950615
JP 08319288	A2	19961203	JP 1995-151844	19950619
US 5840732	A	19981124	US 1996-481391	19961206
PRIORITY APPLN. INFO.:			JP 1994-137600 A	19940620
			JP 1995-64128 A	19950324
			WO 1995-JP1192 W	19950615
OTHER SOURCE(S):		MARPAT 124:261035		

GI

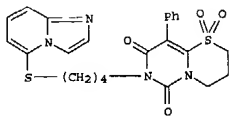
L3 ANSWER 6 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



I



II



III

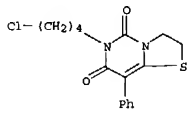
AB The invention provides new condensed imidazoles possessing adhesion mol. expression-inhibiting activity. This invention also provides therapeutic and prophylactic agents for diabetic nephritis and/or autoimmune disease, and immunosuppressants for organ transplantation. The compds. have formula I [wherein X = bond, S(O)m, O, NR3a, Alk, AlkW, or SAlkW; W = O, NR3a, COO or OCONR3a; Y = CH or N; B = groups Q1 or Q2; B1 = (CH2)f or CZ1Z2; f = 1-6; Z1 = O or S; Z2 = O, S, Alk1, Alk1S, or NR3b; Alk, Alk1 = (un)substituted hydrocarbondiyl; R3a, R3b = H, (un)substituted hydrocarbyl; R4, R5 = H, (esterified) CO2H, (un)substituted amino or heterocyclyl, W1, SW1, OW1; W = (un)substituted hydrocarbyl; or R4R5 may form ring; R6, R7 = (un)substituted hydrocarbyl or heterocyclyl; R8 = H, (un)substituted hydrocarbyl or heterocyclyl, NO2, cyano, (un)protected NH2, halo, acyl; m= 0-2]. For example, cyclocondensation of benzylurea with di-Et phenylmalonate gave 83% 3-benzyl-5-phenylpyrimidine-2,4,6(1H,3H)-trione. This was converted to the 6-chloro derivative (95%), N1-alkylated with Br(CH2)3Cl (74%), cyclized with Na hydrosulfide (27%), and debenzylated (32%) to give pyrimidothiazinedione derivative II. This underwent alkylation with Br(CH2)4Cl (65%), S-oxidation to the dioxide (87%), coupling with 5-mercaptoimidazo[1,2-a]pyridine (44%), and acidification with HCl (100%), to give title compound III as the HCl salt. At 10 mg/kg/day i.p. in the mouse homologous skin transplantation test, III.HCl increased the mean rejection day from 13.5 (control) to 27.0.

IT **175143-18-7P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of condensed imidazoles as adhesion mol. expression inhibitors)

RN 175143-18-7 CAPLUS

CN 5H-Thiazolo[3,2-c]pyrimidine 5,7(6H)-dione, 6-(4-chlorobutyl)-2,3-dihydro-8-phenyl- (9CI) (CA INDEX NAME)

L3 ANSWER 6 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



L3 ANSWER 7 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1995:319155 CAPLUS

DOCUMENT NUMBER: 122:133114

TITLE: A new class of potent hypolipemic agents raising high-density lipoproteins. Synthesis, reactions and pharmacological properties

AUTHOR(S): Furrer, H.; Granzer, E.; Wagner, R.

CORPORATE SOURCE: Preclinical Res., Med. Chem., Hoechst AG Werk Kalle-Albert, Wiesbaden, D 65174, Germany

SOURCE: European Journal of Medicinal Chemistry (1994), 29(11), 819-29
CODEN: EJMCA5; ISSN: 0223-5234

PUBLISHER: Elsevier

DOCUMENT TYPE: Journal

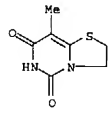
LANGUAGE: English

AB A series of thiazolo[3,2-c]pyrimidine-5,7-diones has been synthesized. Results from in vivo evaluations in rats have shown that many of these compds. produce a pronounced increase of HDL cholesterol and a marked decrease of LDL and VLDL cholesterol. The most potent compound, at 30 mg/kg/d per os over 7 d in male rats, led to the following changes: HDL cholesterol +101%, LDL cholesterol -40%, and VLDL cholesterol -98%. These effects may result in antiatherosclerotic properties in these compds. The preparation of 7-amino-2,3-dihydrothiazolo[3,2 a]pyrimidine-5-ones and 5-amino-2,3-dihydrothiazolo[3,2-a]pyrimidin-7-ones is described.

IT **39931-58-3P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent)
(synthesis of thiazolopyrimidinediones as hypolipemic agents raising high-d. lipoproteins)

RN 39931-58-3 CAPLUS

CN 5H-Thiazolo[3,2-c]pyrimidine-5,7(6H)-dione, 2,3-dihydro-8-methyl- (9CI) (CA INDEX NAME)



L3 ANSWER 8 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1993:539262 CAPLUS

DOCUMENT NUMBER: 119:139262

TITLE: Preparation and arteriosclerosis activity of thiazolopyrimidinediones and their intermediates

INVENTOR(S): Furrer, Harald; Gebert, Ulrich; Granzer, Ernold

PATENT ASSIGNEE(S): Hoechst A.-G., Germany

SOURCE: Ger. Offen., 19 pp.
CODEN: GWXXBX

DOCUMENT TYPE: Patent

LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

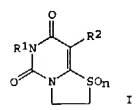
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 4137437	A1	19930519	DE 1991-4137437	19911114

PRIORITY APPLN. INFO.: DE 1991-4137437 19911114

OTHER SOURCE(S): MARPAT 119:139262

GI



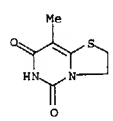
I

AB Title compds. I [R1 = H, C1-5 alkyl, (ω-1)(C3-5)-alkenyl, (ω-1)(C3-4)-alkynyl, ω-cyano (C1-5) alkyl, (ω-1)-cyano(C2-5)-alkyl, ω-methoxy-(C1-3)-alkyl, ω-ethoxy-(C1-3)-alkyl, (ω-1)-oxo-(C3-4)-alkyl, (ω-1)-hydroxy-(C3-4)-alkyl, R2 = H, C1-3 alkyl, p-chlorobenzyl; n = 0, 1] and their preparation, certain intermediates, use for treating arteriosclerosis, and drugs containing them are claimed. Synthetic examples, antihypercholesterinemic activities, and related lipoprotein exptl. data are given.

IT **39931-58-3P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction of, in preparation of arteriosclerosis inhibitor)

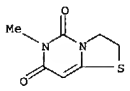
RN 39931-58-3 CAPLUS

CN 5H-Thiazolo[3,2-c]pyrimidine-5,7(6H)-dione, 2,3-dihydro-8-methyl- (9CI) (CA INDEX NAME)



L3 ANSWER 8 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

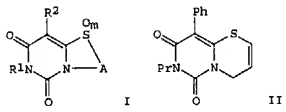
L3 ANSWER 9 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
RN 133801-54-4 CAPLUS
CN 5H-Thiazolo[3,2-c]pyrimidine-5,7(6H)-dione, 2,3-dihydro-6-methyl- (9CI) (CA INDEX NAME)



L3 ANSWER 9 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1991:228938 CAPLUS
DOCUMENT NUMBER: 114:228938
TITLE: Preparation of pyrimido[6,1-b][1,3]thiazine-6,8-diones and related compounds as drugs
INVENTOR(S): Naka, Takehiko; Saijo, Taketoshi; Shimamoto, Norio; Suno, Masahiro
PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Japan
SOURCE: Eur. Pat. Appl., 74 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 404525	A2	19901227	EP 1990-306691	19900619
EP 404525	A3	19911009		
EP 404525	B1	19960515		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
US 5082838	A	19920121	US 1990-538071	19900613
AT 138069	E	19960615	AT 1990-306691	19900619
CA 2019369	AA	19901221	CA 1990-2019369	19900620
CA 2019369	C	20010724		
JP 03086887	A2	19910411	JP 1990-161446	19900621
JP 3096047	B2	20001010		

PRIORITY APPLN. INFO.: JP 1989-156725 A 19890621
OTHER SOURCE(S): MARPAT 114:228938
GI

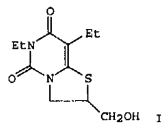


I II

AB The title compds. I [R1 = aliphatic, aralkyl, (substituted) aryl; R2 = H, (substituted) aliphatic, aryl, amino, CHO, NO2, halo; A = (substituted) hydrocarbylene; m = 0-2] were prepared Thus, NaSH was added to 6-chloro-1-(3-chloropropyl)-5-phenyl-3-propyluracil in DMF with ice cooling and the mixture was stirred 1 h to give 9-phenyl-7-propyl-3,4 dihydro-2H,6H-pyrimido[6,1-b][1,3]thiazine-6,8(7H)-dione. The latter was treated with (F3CCO)2O/Et3N in CH2Cl2 to give the 2-hydroxy derivative, which was refluxed with 4-MeC6H4SO3H in PhMe to give title compound II. II at 10-5M gave 90% inhibition of endothelin-induced contraction of porcine coronary artery rings.

IT **133801-54-4P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as endothelin inhibitor, IL-1 synthesis inhibitor, and NGF synthesis stimulator)

L3 ANSWER 10 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1983:137135 CAPLUS
DOCUMENT NUMBER: 98:137135
TITLE: Structure of a novel sulfur-containing metabolite of Acluracil (1-allyl 3,5-diethyl-6-chlorouracil)
AUTHOR(S): Kaul, R.; Hempel, B.; Kiefer, G.
CORPORATE SOURCE: Res. Lab., Pharm. Robugen G.m.b.H., Esslingen, D-7300, Fed. Rep. Ger.
SOURCE: Xenobiotica (1982), 12(8), 495-8
CODEN: XENOBH; ISSN: 0049-8254
DOCUMENT TYPE: Journal
LANGUAGE: English
GI

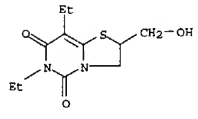


I

AB 6,8-diethyl-2-hydroxymethyltetrahydrothiazolo[3,2-c]pyrimidine-5,7(4H,6H)-dione (I) [**79831-08-6**] was identified as an Acluracil [20938-38-9] metabolite in rabbit urine by gas-liquid chromatog.-mass spectrometry. The mechanism of formation of this metabolite is discussed and a metabolic path for the formation of methylthio metabolites is proposed.

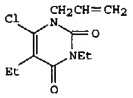
IT **79831-08-6**
RL: BIOL (Biological study)
(as Acluracil metabolite, structure of)

RN 79831-08-6 CAPLUS
CN 5H-Thiazolo[3,2-c]pyrimidine-5,7(6H)-dione, 6,8-diethyl-2,3-dihydro-2-(hydroxymethyl)- (9CI) (CA INDEX NAME)



L3 ANSWER 11 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1982:555876 CAPLUS
DOCUMENT NUMBER: 97:155876
TITLE: 2-14C-1-allyl-3,5-diethyl-6-chlorouracil. II: Isolation and structures of the major sulfur-free and three minor sulfur-containing metabolites and mechanism of biotransformation
AUTHOR(S): Kaul, Ravinder; Hempel, Bernd; Kiefer, Gebhard
CORPORATE SOURCE: Res. Lab., Pharm. Robugen G.m.b.H., Esslingen, D-7300, Fed. Rep. Ger.
SOURCE: Journal of Pharmaceutical Sciences (1982), 71(8), 897-900
CODEN: JPMSAE; ISSN: 0022 3549
DOCUMENT TYPE: Journal
LANGUAGE: English
GI

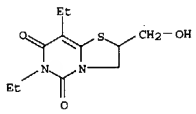


1

AB The metabolites of 1-allyl-3,5-diethyl 6-chlorouracil (I) [20938-38 9] in rabbit urine were isolated by preparative thick-layer, liquid-column, and gas chromatog. With the aid of mass and 1H-NMR spectra, and by comparison with an authentic sample, the major metabolite was identified as 6,8-diethyl-2-(hydroxymethyl)-1-tetrahydrooxazolo[3,2-c]pyrimidine-5,7(4H,6H)-dione [58137-53 4]; the other metabolites were identified as 1-allyl-3 ethyl-5-(1-hydroxyethyl)-6-methylthiouracil [59453-66-6], 1-allyl-3,5-diethyl-6-methylthiouracil [59453-67-7], and 6,8-diethyl-2-(hydroxymethyl)tetrahydrothiazolo[3,2-c]pyrimidine-5,7(4H,6H)-dione [**79831-08-6**]. The mechanism of the formation of sulfur-containing metabolites is discussed, and a new metabolic pathway for the formation of methylthio compds.. is proposed.

IT **79831-08-6P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and formation of, as allyldiethylchlorouracil metabolite)

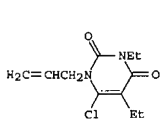
RN 79831-08-6 CAPLUS
CN 5H-Thiazolo[3,2-c]pyrimidine-5,7(6H)-dione, 6,8-diethyl-2,3-dihydro-2-(hydroxymethyl)- (9CI) (CA INDEX NAME)



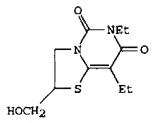
L3 ANSWER 11 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

L3 ANSWER 12 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1982:484667 CAPLUS
DOCUMENT NUMBER: 97:84667
TITLE: Identification of a third sulfur-containing metabolite of 1-allyl-3,5-diethyl 6 chlorouracil and mechanism of formation of methylthio-metabolites
AUTHOR(S): Kaul, R.; Kiefer, G.; Hempel, B.
CORPORATE SOURCE: Forschungslab., Firma Robugen G.m.b.H., Esslingen/Neckar, 7300, Fed. Rep. Ger.
SOURCE: Arzneimittel-Forschung (1982), 32(6), 610-12
CODEN: ARZNAD; ISSN: 0004 4172
DOCUMENT TYPE: Journal
LANGUAGE: German
GI



I

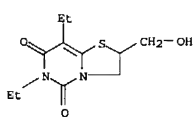


II

AB A new S-containing metabolite of 1-allyl-3,5-diethyl-6-chlorouracil (I) [20938-38-9] is reported. By comparison with a synthetic product, this metabolite was identified as 6,8-diethyl-2-hydroxymethyl-tetrahydrothiazolo[3,2-c]pyrimidine-5,7-(4H,6H)-dione (II) [**79831-08-6**]. The mechanism of formation of II and other S-containing metabolites of I in the rabbit is discussed.

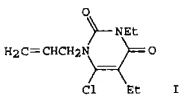
IT **79831-08-6**
RL: BIOL (Biological study)
(as allyldiethylchlorouracil metabolite in urine)

RN 79831-08-6 CAPLUS
CN 5H-Thiazolo[3,2-c]pyrimidine-5,7(6H)-dione, 6,8-diethyl-2,3-dihydro-2-(hydroxymethyl)- (9CI) (CA INDEX NAME)



L3 ANSWER 13 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1982:196 CAPLUS
DOCUMENT NUMBER: 96:196
TITLE: Mechanism of formation of methylthio metabolites investigated on the biotransformation of 1-allyl-3,5-diethyl-6-chlorouracil in rabbits
AUTHOR(S): Kaul, R.; Kiefer, G.; Hempel, B.
CORPORATE SOURCE: Res. Lab., Pharm. Robugen G.m.b.H., Esslingen, D-7300, Fed. Rep. Ger.
SOURCE: Chemosphere (1981), 10(8), 929-34
CODEN: CMSHAF; ISSN: 0045-6535
DOCUMENT TYPE: Journal
LANGUAGE: English
GI

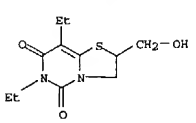


I

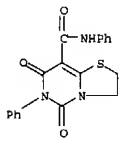
AB A new S-containing metabolite of 1-allyl-3,5-diethyl-6-chlorouracil (I) [20938-38-9] is reported. By comparison with an authentic sample (synthesis described), this metabolite was identified as 6,8-diethyl-2-(hydroxymethyl)tetrahydrothiazolo[3,2-c]pyrimidine-5,7(4H,6H)-dione [**79831-08-6**]. The mechanism of formation of S-containing metabolites is discussed.

IT **79831-08-6P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and formation of, as allylchlorouracil metabolite)

RN 79831-08-6 CAPLUS
CN 5H-Thiazolo[3,2-c]pyrimidine-5,7(6H)-dione, 6,8-diethyl-2,3-dihydro-2-(hydroxymethyl)- (9CI) (CA INDEX NAME)



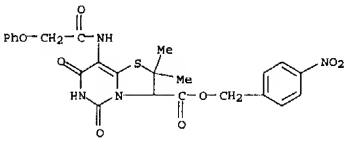
L3 ANSWER 14 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1981:121439 CAPLUS
DOCUMENT NUMBER: 94:121439
TITLE: 5-Aryl-7-(N-arylcarbamoyl)-4,6-dioxo-2,3,3a,4,5,6-hexahydrooxa(thia)zolo[2,3 c]pyrimidines and 3-(N-arylcarbamoyl)-2,4-dihydroxyquinolines from 2-methyloxa(thia)zoline and aryl isocyanates
AUTHOR(S): Richter, R.; Ulrich, H.
CORPORATE SOURCE: D. S. Gilmore Res. Lab., Upjohn Co., North Haven, CT, 06473, USA
SOURCE: Journal of Organic Chemistry (1979), 44(26), 4877-80 CODEN: JOCEAH; ISSN: 0022-3263
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 94:121439
AB Two structurally different heterocyclic products, 5-aryl-7-(N-arylcarbamoyl)-4,6-dioxo-2,3,3a,4,5,6-hexahydrooxazolo- and -thiazolo[2,3-c]pyrimidines and 3-(N-arylcarbamoyl)-2,3-dihydroxyquinolines are obtained in low yield on heating 2-methyloxazoline or 2-methylthiazoline with aryl isocyanates to .apprx.150°. The structures of both heterocyclic products were confirmed.
IT **71886-05-0P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 71886-05-0 CAPLUS
CN 5H-Thiazolo[3,2-c]pyrimidine-8-carboxamide, 2,3,6,7-tetrahydro-5,7-dioxo-N,6-diphenyl- (9CI) (CA INDEX NAME)



L3 ANSWER 15 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1975:140163 CAPLUS
DOCUMENT NUMBER: 82:140163
TITLE: 2,3,5,7 Tetrahydro-2,2-dimethyl-5,7-dioxo-8-hydronitrogeno-5H-thiazolo[3,2-c]pyrimidine 3 carboxylic acids, esters and alkali metal salts
INVENTOR(S): Nudelman, Abraham; Cynwyd, Bala; McCaully, Ronald J.
PATENT ASSIGNEE(S): American Home Products Corp.
SOURCE: U.S., 4 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 3850933	A	19741126	US 1973-345803	19730328

PRIORITY APPLN. INFO.: US 1973-345803 19730328
GI For diagram(s), see printed CA Issue.
AB Ring enlargement of penicillanates I (R1 = PhOCH2CO, PhCH2CO; R2 = CH2C6H4NO2-p, CH2C6H4Me-p) with EtO2CNCO gave antitrichomonal II. Thus, refluxing I R1 = PhOCH2CO, R2 = CH2C6H4NO2-p) with EtO2CNCO in THF gave 54% II (same R1, R2), which was refluxed in HCl-MeO to give 65% II (R1 = H, R2 = CH2C6H4NO2-p) (III). III gave 99% kill of Trichomonas vaginalis at 1000 μg/ml.
IT **54820-45-0P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(antitrichomonal, preparation of)
RN 54820-45-0 CAPLUS
CN 5H-Thiazolo[3,2-c]pyrimidine-3-carboxylic acid, 2,3,6,7-tetrahydro-2,2-dimethyl-5,7-dioxo-8-[(phenoxyacetyl)amino]-, (4-nitrophenyl)methyl ester (9CI) (CA INDEX NAME)



L3 ANSWER 16 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1973:16119 CAPLUS
DOCUMENT NUMBER: 78:16119
TITLE: Acyl and thioacyl isocyanates. XI. Reactions of benzoyl and thiobenzoyl isocyanates with 2-thiazolines and 2-oxazolines
AUTHOR(S): Tsuge, O.; Kanemasa, S.
CORPORATE SOURCE: Res. Inst. Ind. Sci., Kyushu Univ., Fukuoka, Japan
SOURCE: Tetrahedron (1972), 28(18), 4737-46 CODEN: TETRAB; ISSN: 0040-4020
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 78:16119
GI For diagram(s), see printed CA Issue.
AB PhCSNCO reacted with 2-thiazoline and 2-methyl-2-thiazoline (I) to give 6,7-dihydro-2-phenylthiazolo-[2,3,-b]-1,3,5-thiadiazin-4(8aH)-one (II) and its 8a Me derivative, resp. BzNCO reacted with I to give 2,3-dihydro 5 phenyl-8-(benzoylcarbamoyl)thiazolo[3,2-c]pyrimidin-7-one (III); PhCSNCO reacted with I and 2-methyl-2-oxazoline (IV) at 90° to give the corresponding 8-[(thiobenzoyl)carbanoyl]thiazolo and -oxazolo[3,2-c]pyrimidin-7-ones, while reaction of BzNCO with IV gave 2-[bis(benzoylcarbamoyl)methylene]oxazolidine which, with AcOH, gave the corresponding oxazolo[3,2-c]pyrimidine. BzNCO reacted with 2 ethyl-2-thiazoline to give 2,3-dihydro-6-benzoyl-8-methylthiazolo[3,2-c]pyrimidine-5,7-dione and 2,3-dihydro-5-phenyl-8-methylthiazolo[3,2-c]pyrimidin-7 one. The reactions proceed by attack of the isocyanates on the tautomeric enamines of 2-alkyl-2-thiazoline and-2-oxazoline.
IT **39931-56-1P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 39931-56-1 CAPLUS
CN 5H-Thiazolo[3,2-c]pyrimidine-5,7(6H)-dione, 6-benzoyl-2,3-dihydro-8-methyl- (9CI) (CA INDEX NAME)